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NEWS HOURS

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* * * * * * * * * *
                     Welcome to STN International
NEWS
                 Web Page for STN Seminar Schedule - N. America
NEWS 2 JAN 02
                 STN pricing information for 2008 now available
NEWS 3 JAN 16 CAS patent coverage enhanced to include exemplified
                 prophetic substances
NEWS 4 JAN 28 USPATFULL, USPAT2, and USPATOLD enhanced with new
                 custom IPC display formats
NEWS 5 JAN 28 MARPAT searching enhanced
NEWS 6 JAN 28 USGENE now provides USPTO sequence data within 3 days
                 of publication
NEWS 7 JAN 28 TOXCENTER enhanced with reloaded MEDLINE segment
NEWS 8 JAN 28 MEDLINE and LMEDLINE reloaded with enhancements
NEWS 9 FEB 08 STN Express, Version 8.3, now available
NEWS 10 FEB 20 PCI now available as a replacement to DPCI
NEWS 11 FEB 25 IFIREF reloaded with enhancements
NEWS 12 FEB 25 IMSPRODUCT reloaded with enhancements
NEWS 13 FEB 29 WPINDEX/WPIDS/WPIX enhanced with ECLA and current
                 U.S. National Patent Classification
NEWS 14 MAR 31
                 IFICDB, IFIPAT, and IFIUDB enhanced with new custom
                 IPC display formats
NEWS 15 MAR 31 CAS REGISTRY enhanced with additional experimental
                 spectra
NEWS 16 MAR 31 CA/Caplus and CASREACT patent number format for U.S.
                 applications updated
NEWS 17 MAR 31 LPCI now available as a replacement to LDPCI
NEWS 18 MAR 31 EMBASE, EMBAL, and LEMBASE reloaded with enhancements
NEWS 19 APR 04 STN AnaVist, Version 1, to be discontinued
NEWS 20 APR 15
                WPIDS, WPINDEX, and WPIX enhanced with new
                 predefined hit display formats
NEWS EXPRESS FEBRUARY 08 CURRENT WINDOWS VERSION IS V8.3,
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FILE 'HOME' ENTERED AT 08:28:58 ON 15 APR 2008

=> b reg

COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION

0.21

0.21

FULL ESTIMATED COST

FILE 'REGISTRY' ENTERED AT 08:29:24 ON 15 APR 2008
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STRUCTURE FILE UPDATES: 14 APR 2008 HIGHEST RN 1014671-54-5 DICTIONARY FILE UPDATES: 14 APR 2008 HIGHEST RN 1014671-54-5

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=>

Uploading C:\Documents and Settings\jlau1\My Documents\10550864 - bioreduction prodrug\generic species.str

chain nodes :

10 11 12 13 14 16

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ring nodes :
1 2 3 4 5 6 7 8 9
chain bonds :
9-10 10-11 11-12 11-13 11-16 14-16
ring bonds :
1-2 1-5 2-3 3-4 4-5 4-6 5-9 6-7 7-8 8-9
exact/norm bonds :
1-2 1-5 2-3 3-4 9-10 10-11 11-16 14-16
exact bonds :
11-12 11-13
normalized bonds :
4-5 4-6 5-9 6-7 7-8 8-9
G1:0,S,N
Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:CLASS 12:CLASS 13:CLASS 14:CLASS 16:Atom
Generic attributes :
16:
Saturation : Unsaturated Type of Ring System : Monocyclic
```

L1 STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS

L1 STF

G1 O, S, N

Structure attributes must be viewed using STN Express query preparation.

=> s 11 sss sam

SAMPLE SEARCH INITIATED 08:29:45 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 69 TO ITERATE

100.0% PROCESSED 69 ITERATIONS 0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 882 TO 1878
PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s l1 sss full

FULL SEARCH INITIATED 08:29:51 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 1478 TO ITERATE

100.0% PROCESSED 1478 ITERATIONS 3 ANSWERS

SEARCH TIME: 00.00.01

L3 3 SEA SSS FUL L1

=> d 13 1-3

L3 ANSWER 1 OF 3 REGISTRY COPYRIGHT 2008 ACS on STN

RN 948856-26-6 REGISTRY

ED Entered STN: 30 Sep 2007

CN 9H-Purin-2-amine, 6-[[1-methyl-1-(4-nitrophenyl)ethyl]thio]- (CA INDEX NAME)

MF C14 H14 N6 O2 S

SR CA

LC STN Files: CA, CAPLUS, CASREACT, TOXCENTER

## \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L3 ANSWER 2 OF 3 REGISTRY COPYRIGHT 2008 ACS on STN

RN 770746-94-6 REGISTRY

ED Entered STN: 28 Oct 2004

CN 1H-Purine, 6-[[1-methyl-1-(5-nitro-2-thienyl)ethyl]thio]- (9CI) (CA INDEX NAME)

OTHER NAMES:

CN 6-[2-(5-Nitrothien-2-yl)propan-2-ylsulfanyl]-9H-purine

MF C12 H11 N5 O2 S2

SR CA

LC STN Files: CA, CAPLUS, CASREACT, TOXCENTER, USPATFULL

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L3 ANSWER 3 OF 3 REGISTRY COPYRIGHT 2008 ACS on STN

RN 770746-91-3 REGISTRY

ED Entered STN: 28 Oct 2004

CN 9H-Purine, 6-[[1-methyl-1-(4-nitrophenyl)ethyl]thio]- (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN 1H-Purine, 6-[[1-methyl-1-(4-nitrophenyl)ethyl]thio]-(9CI)

OTHER NAMES:

CN 6-[2-(4-Nitrophenyl)propan-2-ylsulfanyl]-9H-purine

MF C14 H13 N5 O2 S

SR CA

LC STN Files: CA, CAPLUS, CASREACT, TOXCENTER, USPATFULL

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

2 REFERENCES IN FILE CA (1907 TO DATE)

2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> b caplus

COST IN U.S. DOLLARS SINCE FILE TOTAL

ENTRY SESSION 184.82 185.03

FULL ESTIMATED COST

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strictly prohibited. FILE COVERS 1907 - 15 Apr 2008 VOL 148 ISS 16 FILE LAST UPDATED: 14 Apr 2008 (20080414/ED) Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at: http://www.cas.org/infopolicy.html => s 132 L3 L4=> d 14 scan L42 ANSWERS CAPLUS COPYRIGHT 2008 ACS on STN IC ICM C07D333-36 ICS C07D417-04; C07D233-54; A61K031-445 CC 27-8 (Heterocyclic Compounds (One Hetero Atom)) Section cross-reference(s): 1, 28, 33, 63 Preparation of bioreductively activated prodrugs of antiproliferative ΤI agents ST thiophene propoxy prodrug prepn bioreductive activation antiproliferative agent ΤТ Antibiotics (anthracycline; release of cytostatic agents under hypoxic conditions from bioreductively activated prodrugs) ΤТ Cytotoxic agents (antimetabolites, cytostatic agent; release of cytostatic agents under hypoxic conditions from bioreductively activated prodrugs) ΤТ Eye, disease (diabetic retinopathy; preparation of bioreductively activated prodrugs of antiproliferative agents) ΙT Macrolides RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (epothilones; release of cytostatic agents under hypoxic conditions from bioreductively activated prodrugs) ΙT Mitosis (inhibitor; release of cytostatic agents under hypoxic conditions from bioreductively activated prodrugs) ΤТ Eve, disease (macula, senile degeneration, treatment of wet; preparation of bioreductively activated prodrugs of antiproliferative agents) Antirheumatic agents ΤТ Antitumor agents Cytotoxic agents Human Hypoxia Leukemia Neoplasm Psoriasis Rheumatoid arthritis (preparation of bioreductively activated prodrugs of antiproliferative agents) ΤТ Drug delivery systems (prodrugs; preparation of bioreductively activated prodrugs of antiproliferative agents)

ΙT

Disease, animal

(proliferative; preparation of bioreductively activated prodrugs of antiproliferative agents) ΤТ Neoplasm (solid; preparation of bioreductively activated prodrugs of antiproliferative agents) ΙT 62989-33-7, (6R)-5,6,7,8-Tetrahydrobiopterin RL: BSU (Biological study, unclassified); BIOL (Biological study) (antagonist of; release of cytostatic agents under hypoxic conditions from bioreductively activated prodrugs) 770746-88-8P, 1-[4-Methoxy-3-[[2-(5-nitrothiophen-2-yl)propan-2-ΙT yl]oxy]phenyl]-2-(3,4,5-trimethoxyphenyl)-(Z)-ethene 770746-89-9P, 1-[4-Methoxy-3-[[2-(4-nitrophenyl)propan-2-yl]oxy]phenyl]-2-(3,4,5-1)trimethoxyphenyl)-(Z)-ethene 770746-90-2P 770746-91-3P, 6-[2-(4-Nitrophenyl)propan-2-ylsulfanyl]-9H-purine 770746-92-4P, 1-[4-Methoxy-3-[[[1-methyl-4-(5-nitrothien-2-yl)piperidin-4y1]oxy]carbony1]oxy]pheny1]-2-(3,4,5-trimethoxypheny1)-(2)-ethene770746-93-5P, 1-[4-Methoxy-3-[[2-(1-methyl-2-nitroimidazol-5-yl)propan-2y1]oxy]pheny1]-2-(3,4,5-trimethoxypheny1)-(Z)-ethene 770746-94-6P, 6-[2-(5-Nitrothien-2-yl)propan-2-ylsulfanyl]-9H-purine 770746-96-8P, 1-[3-[1-Ethoxycarbonyl-1-(5-nitrothien-2-yl)ethoxy]-4methoxyphenyl]-2-(3,4,5-trimethoxyphenyl)-(Z)-ethene770746-97-9P, N-[2-[3-[1-Methyl-1-(5-nitrothiophen-2-yl)ethoxy]phenyl]ethyl]acetamideRL: SPN (Synthetic preparation); PREP (Preparation) (bioreductive prodrug; preparation of bioreductively activated prodrugs of antiproliferative agents) ΙT 80449-01-0, Topoisomerase RL: BSU (Biological study, unclassified); BIOL (Biological study) (inhibitor; release of cytostatic agents under hypoxic conditions from bioreductively activated prodrugs) ΙT 372092-80-3, Protein kinase RL: BSU (Biological study, unclassified); BIOL (Biological study) (inhibitors; release of cytostatic agents under hypoxic conditions from bioreductively activated prodrugs) 770746-98-0P, 4-Hydroxy-1-methyl-4-(5-nitrothien-2-yl)piperidine ΙT 770747-00-7P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (intermediate; preparation of bioreductively activated prodrugs of antiproliferative agents) ΙT 9039-06-9, Cytochrome p450 reductase RL: BSU (Biological study, unclassified); BIOL (Biological study) (preparation of bioreductively activated prodrugs of antiproliferative agents) TΤ 50-44-2, 6-Mercaptopurine 117048-59-6, Combretastatin A4 RL: PAC (Pharmacological activity); RCT (Reactant); THU (Therapeutic use); BIOL (Biological study); RACT (Reactant or reagent); USES (Uses) (preparation of bioreductively activated prodrugs of antiproliferative agents) ΙT 147-94-4, Cytarabine RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (preparation of bioreductively activated prodrugs of antiproliferative agents) 609-40-5, 2-Nitrothiophene 1445-73-4, 1-Methylpiperidin-4-one 41765-97-3, N-Acetyl-3-(2-aminoethyl)phenol 60628-92-4, 5-(1-Hydroxy-1-methylethyl)-1-methyl-2-nitro-1H-imidazole 69240-39-7, 70951-50-7, 1-Methyl-1-(5-nitrothiophen-2-yl)ethanol 2-Bromo-2-(4-nitrophenyl)propane 226972-65-2, Ethyl 2-hydroxy-2-(5nitrothien-2-yl)propanoate 770746-99-1, 2-Chloro-2-(5-nitrothien-2-

yl)propane RL: RCT (Reactant); RACT (Reactant or reagent) (preparation of bioreductively activated prodrugs of antiproliferative ΙT 51-21-8, 5-Fluorouracil 57-22-7, Vincristine 59-05-2, Methotrexate 154-42-7, 6-Thioguanine 320-67-2, 5-Azacytidine 518-28-5, Podophyllotoxin 865-21-4, Vinblastine 2353-33-5, Decitabine 4291-63-8, Cladribine 20830-81-3, Daunorubicin 21679-14-1, Fludarabine 23214-92-8, Doxorubicin 26599-17-7, 4'-Thioaracytidine 29767-20-2, Teniposide 33069-62-4, Paclitaxel 33419-42-0, Etoposide 52128-35-5, Trimetrexate 56420-45-2, Epirubicin 71486-22-1, Vinorelbine 86639-52-3, SN 38 95058-81-4, Gemcitabine 109971-63-3, Combretastatin 114977-28-5, Docetaxel 123318-82-1, Clofarabine 123948-87-8, 130306-02-4, Tezacitabine 145918-75-8, Troxacitabine Topotecan 154361-50-9, Capecitabine 183321-74-6, Erlotinib 184475-35-2, Gefitinib 443913-73-3, ZD6474 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (release of cytostatic agents under hypoxic conditions from bioreductively activated prodrugs) 9037-80-3, Reductase RL: BSU (Biological study, unclassified); BIOL (Biological study) (use bioreductively activated stilbene prodrugs with a reductase, an antibody-reductase conjugate, a macromol.-reductase conjugate or DNA encoding a reductase gene for treating proliferative disorders) HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1 2 ANSWERS CAPLUS COPYRIGHT 2008 ACS on STN L4CC 63-6 (Pharmaceuticals) Section cross-reference(s): 1, 26 ΤI Hypoxia-driven elimination of thiopurines from their nitrobenzyl prodrugs ST nitrobenzyl thioguanine mercaptopurine prepn antitumor prodrug; radical half life nitrobenzyl thioguanine mercaptopurine gamma pulse radiolysis; rate release thioquanine mercaptopurine gamma pulse radiolysis; hypoxia selective release thioguanine nitrobenzyl prodrug A549 cell; antitumor prodrug nitrobenzyl thioquanine mercaptopurine selective release hypoxia; elimination driven hypoxia thiopurine nitrobenzyl prodrug; structure nitrobenzyl thioguanine mercaptopurine release antitumor agent hypoxia ΙT Human Lung, neoplasm (preparation of S-nitrobenzyl thioguanines and an S-benzylmercaptopurine as hypoxia-selective prodrugs for antitumor agents and release of thioguanine in A549 human lung cancer cells under aerobic and hypoxic conditions) Antitumor agents ΙT Fragmentation reaction Hypoxia Prodrugs (preparation of S-nitrobenzyl thioguanines and an S-benzylmercaptopurine as hypoxia-selective prodrugs for antitumor agents, release of thiols upon  $\gamma$ -pulse irradiation and of thioguanine in A549 cells under aerobic and hypoxic conditions) 50-44-2, 6-Mercaptopurine154-42-7, 6-Thioguanine

RL: FMU (Formation, unclassified); RCT (Reactant); FORM (Formation,

(preparation of S-nitrobenzyl thioguanines and an S-benzylmercaptopurine as hypoxia-selective prodrugs for antitumor agents, release of thiols upon  $\gamma$ -pulse irradiation and of thioguanine in A549 cells under aerobic

nonpreparative); RACT (Reactant or reagent)

and hypoxic conditions)

IT 5069-64-7P 770746-91-3P 948856-26-6P

RL: PAC (Pharmacological activity); PEP (Physical, engineering or chemical process); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process)

(preparation of S-nitrobenzyl thioguanines and an S-benzylmercaptopurine as hypoxia-selective prodrugs for antitumor agents, release of thiols upon  $\gamma\text{-pulse}$  irradiation and of thioguanine in A549 cells under aerobic and hypoxic conditions)

IT 100-11-8, 4-Nitrobenzyl bromide 70951-50-7

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of S-nitrobenzyl thioguanines and an S-benzylmercaptopurine as hypoxia-selective prodrugs for antitumor agents, release of thiols upon  $\gamma$ -pulse irradiation and of thioguanine in A549 cells under aerobic and hypoxic conditions)

ALL ANSWERS HAVE BEEN SCANNED

=> d 14 1-2 ibib

L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:746502 CAPLUS

DOCUMENT NUMBER: 147:350314

TITLE: Hypoxia-driven elimination of thiopurines from their

nitrobenzyl prodrugs

AUTHOR(S): Thomson, Peter; Naylor, Matthew A.; Stratford, Michael

R. L.; Lewis, Gemma; Hill, Sally; Patel, Kantilal B.;

Wardman, Peter; Davis, Peter D.

CORPORATE SOURCE: University of Oxford, Gray Cancer Institute, Mount

Vernon Hospital, Middlesex, HA6 2JR, UK

SOURCE: Bioorganic & Medicinal Chemistry Letters (2007),

17(15), 4320-4322

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Ltd.

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 147:350314

REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:817879 CAPLUS

DOCUMENT NUMBER: 141:332039

TITLE: Preparation of bioreductively activated prodrugs of

antiproliferative agents

INVENTOR(S): Davis, Peter David; Naylor, Matthew Alexander;

Thomson, Peter; Everett, Steven Albert; Stratford,

Michael Richard Lacey; Wardman, Peter

PATENT ASSIGNEE(S): Angiogene Pharmaceuticals Limited, UK; Gray Laboratory

Cancer Research Trust

SOURCE: PCT Int. Appl., 45 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

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		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	, JP,	ΚE,	KG,	KP,	KR,	KΖ,	LC,
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		ΤJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	, UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW
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		ES,	FI,	FR,	GB,	GR,	HU,	IE,	ΙT,	LU,	, MC,	NL,	PL,	PT,	RO,	SE,	SI,
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		TD,	ΤG														
AU	2004	2240	70		A1		2004	1007		AU 2	2004-	2240	70		2	0040	326
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		IE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	, TR,	BG,	CZ,	EE,	HU,	PL,	SK
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JP	2006	5232	02		Τ		2006	1012		JP 2	2006-	5060.	35		2	0040	326
IN	2005	CN02	380		Α		2007	0803		IN 2	2005-0	CN23	80		2	0050	923
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PRIORIT	Y APP	LN.	INFO	.:						GB 2	2003-	6907			A 2	0030	326
										WO 2	2004-0	GB13.	30		W 2	0040	326
THER S	OURCE	(S):			CASI	REAC	T 14	1:333	2039	: MA	ARPAT	141	:332	039			

OTHER SOURCE(S): CASREACT 141:332039; MARPAT 141:332039

=> logoff hold

SINCE FILE COST IN U.S. DOLLARS TOTAL ENTRY SESSION FULL ESTIMATED COST 3.86 188.89

SESSION WILL BE HELD FOR 120 MINUTES STN INTERNATIONAL SESSION SUSPENDED AT 08:32:10 ON 15 APR 2008

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LOGINID:ssptajs11623

## PASSWORD:

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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	3.86	188.89
=> b marpat		
COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	3.86	188.89

FILE 'MARPAT' ENTERED AT 09:52:04 ON 15 APR 2008
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FILE CONTENT: 1961-PRESENT VOL 148 ISS 14 (20080411/ED)

SOME MARPAT RECORDS ARE DERIVED FROM INPI DATA FOR 1961-1987

MOST RECENT CITATIONS FOR PATENTS FROM MAJOR ISSUING AGENCIES (COVERAGE TO THESE DATES IS NOT COMPLETE):

US 20080051413 28 FEB 2008
DE 102006039038 21 FEB 2008
EP 1889831 20 FEB 2008
JP 2008044933 28 FEB 2008
WO 2008028336 13 MAR 2008
GB 2440819 13 FEB 2008
FR 2904973 22 FEB 2008
RU 2317993 27 FEB 2008
CA 2593150 06 JAN 2008

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Effective December 15th the iteration and answer limits in MARPAT have increased from 100,000 to 200,000 for both on-line and batch searches. For more information on MARPAT search limits, type HELP SLIMITS at an arrow prompt.

=> d his

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FILE 'REGISTRY' ENTERED AT 08:29:24 ON 15 APR 2008

L1 STRUCTURE UPLOADED

L2 0 S L1 SSS SAM L3 3 S L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 08:30:22 ON 15 APR 2008 L4 2 S L3

FILE 'MARPAT' ENTERED AT 09:52:04 ON 15 APR 2008

=> s 13 sss sam

SAMPLE SEARCH INITIATED 09:52:13 FILE 'MARPAT'
SAMPLE SCREEN SEARCH COMPLETED - 187 TO ITERATE

100.0% PROCESSED 187 ITERATIONS 0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 2923 TO 4557
PROJECTED ANSWERS: 0 TO 0

L5 0 SEA SSS SAM L1

=> s 13 sss full

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FULL SCREEN SEARCH COMPLETED - 3552 TO ITERATE
 98.3% PROCESSED
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                                                                21 ANSWERS
 98.3% PROCESSED
                 3491 ITERATIONS
                                                                21 ANSWERS
100.0% PROCESSED
                 3552 ITERATIONS ( 1 INCOMPLETE)
                                                                22 ANSWERS
SEARCH TIME: 00.00.34
             22 SEA SSS FUL L1
L6
=> s 16 and py<=2003
'2003' NOT A VALID FIELD CODE
            0 PY<=2003
             0 L6 AND PY<=2003
1.7
=> d 16 scan
     22 ANSWERS
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L6
NCL 424725000
CC
     1-11 (Pharmacology)
     Section cross-reference(s): 28, 63
     Therapeutic Gastrodia extracts
ΤI
ST
    Huntington's disease Gastrodia ext bishydroxybenzylsulfide
IT
     Nervous system, disease
        (Huntington's chorea; therapeutic Gastrodia exts.)
ΙT
     Drug delivery systems
     Gastrodia
     Gastrodia elata
     Natural products, pharmaceutical
        (therapeutic Gastrodia exts.)
ΙT
     38204-93-2P
     RL: PAC (Pharmacological activity); PUR (Purification or recovery); SPN
     (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study);
     PREP (Preparation); USES (Uses)
        (therapeutic Gastrodia exts.)
     110505-75-4P
ΙT
     RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic
     preparation); THU (Therapeutic use); BIOL (Biological study); PREP
     (Preparation); RACT (Reactant or reagent); USES (Uses)
        (therapeutic Gastrodia exts.)
     58-61-7, Adenosine, reactions 100-07-2, 4-Methoxybenzoyl chloride
     6258-60-2, (4-Methoxyphenyl) methanethiol
     RL: RCT (Reactant); RACT (Reactant or reagent)
        (therapeutic Gastrodia exts.)
ΤТ
     23666-24-2P 54373-32-9P 56883-05-7P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (therapeutic Gastrodia exts.)
  MSTR 1A
G10-G1-G4-G6-G5-G2-G3-G10
       = phenylene (opt. substd.)
```

FULL SEARCH INITIATED 09:52:19 FILE 'MARPAT'

G1

G4 = carbon chain <containing 1 or more C>

(opt. substd.)

G5 = bond G6 = 0 G10 = NO2

Patent location: disclosure

Note: and pharmaceutically acceptable salts and solvates

Note: substitution is restricted

### HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L6 22 ANSWERS MARPAT COPYRIGHT 2008 ACS on STN

CC 26-9 (Biomolecules and Their Synthetic Analogs) Section cross-reference(s): 1, 28, 63

TI Preparation of sulfonamido purine aniline derivatives as Janus kinase inhibitors

ST sulfonamido purine aniline prepn JAK2 kinase inhibitor; proliferative disease treatment sulfonamido purine aniline prepn

IT Antitumor agents

Cytotoxic agents

Human

Neoplasm

(preparation of sulfonamido purine aniline derivs. as JAK2 kinase inhibitors for the treatment of proliferative disease)

IT Sulfonamides

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of sulfonamido purine aniline derivs. as JAK2 kinase inhibitors for the treatment of proliferative disease)

IT Disease, animal

(proliferative; preparation of sulfonamido purine aniline derivs. as JAK2 kinase inhibitors for the treatment of proliferative disease)

IT Pharmaceutical capsules

(soft capsules; preparation of sulfonamido purine aniline derivs. as JAK2 kinase inhibitors for the treatment of proliferative disease)

IT 152478-57-4, JAK2 kinase

RL: BSU (Biological study, unclassified); BIOL (Biological study)

(preparation of sulfonamido purine aniline derivs. as JAK2 kinase inhibitors for the treatment of proliferative disease)

ΙT	934595-55-8P	942475-75-4P	942475-76-5P	942475-77-6P	942475-78-7P
	942475-79-8P	942475-80-1P	942475-81-2P	942475-82-3P	942475-83-4P
	942475-84-5P	942475-85-6P	942475-86-7P	942475-87-8P	942475-88-9P
	942475-89-0P	942475-90-3P	942475-91-4P	942475-92-5P	942475-93-6P
	942475-94-7P	942475-95-8P	942475-96-9P	942475-97-0P	942475-98-1P
	942475-99-2P	942476-00-8P	942476-01-9P	942476-02-0P	942476-03-1P
	942476-04-2P	942476-05-3P	942476-06-4P	942476-07-5P	942476-08-6P
	942476-09-7P	942476-10-0P	942476-11-1P	942476-12-2P	942476-13-3P

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942476-14-4P
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             942476-20-2P
                            942476-21-3P
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942476-34-8P 942476-35-9P 942476-36-0P 942476-37-1P 942476-38-2P
942476-39-3P 942476-40-6P 942476-41-7P 942476-42-8P 942476-43-9P
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942476-49-5P 942476-50-8P 942476-51-9P 942476-52-0P
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942476-64-4P 942476-65-5P 942476-66-6P 942476-67-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
   (preparation of sulfonamido purine aniline derivs. as JAK2 kinase inhibitors
  for the treatment of proliferative disease)
51-45-6, 2-(1H-Imidazol-4-yl)ethylamine, reactions 75-31-0,
Isopropylamine, reactions
                         96-41-3, Cyclopentanol
                                                  99-09-2,
                100-46-9, Benzylamine, reactions 100-49-2,
3-Nitroaniline
Cyclohexylmethanol
                  100-51-6, Benzyl alcohol, reactions 108-00-9,
2-Dimethylaminoethylamine 109-01-3, N-Methylpiperazine 109-56-8,
2-Isopropylaminoethanol 109-86-4, 2-Methoxyethanol
                                                   110-91-8,
Morpholine, reactions 121-05-1, 2-Diisopropylaminoethylamine
                                                              123-75-1,
Pyrrolidine, reactions 124-68-5, 2-Amino-2-methyl-1-propanol
                                                              180-76-7.
1,4-Diazaspiro[5.5]undecane 280-57-9, 1,4-Diazabicyclo[2.2.2]octane
371-41-5, 4-Fluorophenol 453-20-3, 3-Hydroxytetrahydrofuran 616-30-8,
3-Amino-1,2 propanediol 693-05-0, 3-Methylaminopropionitrile 765-30-0,
Cyclopropylamine 822-36-6, 4-Methylimidazole
                                             932-30-9,
2-Aminomethylphenol 1003-03-8, Cyclopentylamine 1008-91-9,
                       2026-48-4, (S)-2-Amino-3-methylbutan-1-ol
1-(4-Pyridyl)piperazine
2038-03-1, 4-(2-Aminoethyl)morpholine 2516-34-9, Cyclobutylamine
2627-86-3, (S)-1-Phenylethylamine 2706-56-1, 2-(2-Aminoethyl)pyridine
2740-83-2, 3-Trifluoromethylbenzylamine 3014-80-0 3731-52-0,
3-Pyridylmethylamine 3789-59-1, (S)-1-Phenylpropylamine 3886-69-9,
(R)-1-Phenylethylamine
                       4152-92-5 4276-09-9, (R)-2-Amino-3-methylbutan-
1-01
      4403-70-7, 3-Aminomethylphenylamine 4747-21-1,
Isopropylmethylamine 5071-96-5, 3-Methoxybenzylamine
                                                      5813-64-9,
Neopentylamine 6530-09-2, 3-Aminoquinuclidine dihydrochloride
7409-18-9, 3-Nitrobenzylamine 10406-24-3, 3-Aminomethylbenzonitrile
19293-58-4, 4-Dimethylaminobenzylamine 19522-67-9, N-Isopropylethane-1,2-
        20419-68-5, 2,6-Dichloro-9-(tetrahydropyran-2-yl)-9H-purine
diamine
22526-47-2, (S)-1,2,2-Trimethylpropylamine 22990-77-8,
2-(Aminomethyl)piperidine 23356-96-9, S-2-(Hydroxymethyl)pyrrolidine
                       37045-73-1, N-(3-Aminophenyl) methanesulfonamide
31519-52-5
          31519-53-6
40499-83-0, 3-Pyrrolidinol 53557-47-4 57678-46-3, 3-
Dimethylaminobenzylamine 66228-31-7, (R)-1,2,2-Trimethylpropylamine
68327-04-8 73604-31-6, 3-Aminomethylphenol 86087-23-2,
(S)-(+)-3-Hydroxytetrahydrofuran
                               86087-24-3, (R)-(-)-3-
Hydroxytetrahydrofuran 87781-93-9
                                  93071-75-1, 3-
Trifluoromethoxybenzylamine 96783-68-5, N-(3-Aminomethylphenyl)acetamide
112245-09-7, (R)-2-Amino-3,3-dimethylbutan-1-ol 112245-13-3,
(S)-2-Amino-3, 3-dimethylbutan-1-ol 125593-25-1 137254-03-6,
(1R, 2S) -2-Aminocyclopentanol hydrochloride 138799-95-8 149917-33-9
158849-15-1
            162679-02-9
                         167321-08-6
                                      167321-10-0
                                                    321330-19-2,
2,1,3-Benzoxadiazole-5-methanamine 672325-37-0
                                               749789-43-3
771573-22-9 849020-90-2, N-(3-Aminomethylphenyl)-N-methylacetamide
hydrochloride 881407-20-1 886766-44-5
                                        942476-78-0 942476-79-1
942476-80-4 942476-81-5 942579-86-4
RL: RCT (Reactant); RACT (Reactant or reagent)
```

ΙT

(preparation of sulfonamido purine aniline derivs. as JAK2 kinase inhibitors for the treatment of proliferative disease)

IT 23384-29-4P 942476-68-8P 942476-69-9P 942476-70-2P 942476-71-3P 942476-72-4P 942476-73-5P 942476-74-6P 942476-75-7P 942476-76-8P 942476-77-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of sulfonamido purine aniline derivs. as JAK2 kinase inhibitors for the treatment of proliferative disease)

# MSTR 1

$$\begin{array}{c} \mathsf{G7} \\ \mathsf{N} \\ \mathsf{G4} \\ \mathsf{G4} \\ \mathsf{S02} \\ \mathsf{NH} \\ \mathsf{G1} \\ \mathsf{NH} \\ \mathsf{NH} \\ \mathsf{H} \\ \\ \mathsf{H} \\ \\ \mathsf{SO2} \\ \mathsf{NH} \\ \mathsf{NH$$

G6 = N G7 = 61

610—G9

G9 = alkyl (opt. substd. by G11)

G10 = 0

G11 = Ph (opt. substd. by G12)

G12 =

Patent location: claim 1

Note: additional substitution also claimed

Note: or salts

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):end

=> d his

(FILE 'HOME' ENTERED AT 08:28:58 ON 15 APR 2008)

FILE 'REGISTRY' ENTERED AT 08:29:24 ON 15 APR 2008

L1 STRUCTURE UPLOADED

L2 0 S L1 SSS SAM L3 3 S L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 08:30:22 ON 15 APR 2008

L4 2 S L3

FILE 'MARPAT' ENTERED AT 09:52:04 ON 15 APR 2008

=> b caplus

COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION

FULL ESTIMATED COST 66.34 255.23

FILE 'CAPLUS' ENTERED AT 09:54:27 ON 15 APR 2008
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=> s 16

L8 22 L6

=> s 18 and py<=2003 23980312 PY<=2003

L9 8 L8 AND PY<=2003

=> d 19 1-8 ibib

L9 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:814853 CAPLUS

DOCUMENT NUMBER: 137:325431

TITLE: Preparation of aminopyrimidines and -pyridines as

glycogen synthase kinase 3 inhibitors

INVENTOR(S): Nuss, John M.; Harrison, Stephen D.; Ring, David B.;

Boyce, Rustum S.; Johnson, Kirk; Pfister, Keith B.; Ramurthy, Savithri; Seely, Lynn; Wagman, Allan S.;

Desai, Manjo; Levine, Barry H.

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 134 pp., Cont.-in-part of U.S.

6,417,185.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PA:	TENT NO.	KIND	DATE	APPLICATION NO.	DATE
US	20020156087	A1	20021024	US 2001-949035	20010906 <
US	7045519	В2	20060516		
US	6417185	В1	20020709	US 1999-336038	19990618 <
US	20030130289	A1	20030710	US 2002-309535	20021203 <

US 7037918 B2 20060502
US 20060089369 A1 20060427 US 2005-220400 20050906
RITY APPLN. INFO.:
US 1998-89978P P 19980619
US 1999-336038 A2 19990618
US 2000-230480P P 20000906
US 1999-336098 A3 19990618
US 2001-949035 A3 20010906 PRIORITY APPLN. INFO.:

OTHER SOURCE(S):

REFERENCE COUNT: 306 THERE ARE 306 CITED REFERENCES AVAILABLE FOR

THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

ANSWER 2 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:185092 CAPLUS

DOCUMENT NUMBER: 136:247598

TITLE: Preparation of aminopyrimidines and -pyridines as

glycogen synthase kinase 3 inhibitors

Nuss, John M.; Harrison, Stephen D.; Ring, David B.; INVENTOR(S):

Boyce, Rustum S.; Johnson, Kirk; Pfister, Keith B.; Ramurthy, Savithri; Seely, Lynn; Wagman, Allan S.;

Desai, Manoj; Levine, Barry H.

PATENT ASSIGNEE(S): Chiron Corporation, USA PCT Int. Appl., 268 pp. SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

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		 2002( 2002(				A2		2002	0314								0010	906 <
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			GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	KΖ,	LC,	LK,	LR,
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А	U.	20010	0950	26		Α		2002	0322		AU 2	001-	9502	6		2	0010	906 <
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OTHER SOURCE(S): MARPAT 136:247598

ANSWER 3 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2001:763522 CAPLUS

DOCUMENT NUMBER: 135:283233 TITLE: Pharmaceutical use of adenosine agonists for inducing

bone marrow cell proliferation

INVENTOR(S): Fishman, Pnina; Cohn, Ilan
PATENT ASSIGNEE(S): Can-Fite Biopharma Ltd., Israel

SOURCE: U.S. Pat. Appl. Publ., 10 pp., Cont.-in-part of U.S.

Ser. No. 700,744.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PA	TENT	NO.			KIN	)	DATE			APPL	ICAT	ION I	.OV			ATE		
US	2001	0031	 742				2001	1018		US 2	001-	7822	 59			0010		<
US	6790	839			В2		2004	0914										
WO	2000	0402	51		A1		2000	0713		WO 2	000-	IL14			2	0000	107	<
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		IN,	IS,	JP,	KΕ,	KG,	ΚP,	KR,	KΖ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,	
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										WO 2	000-	IL14			P 2	0000	107	
										US 2	001-	7007	44		A2 2	0010	109	
										US 2	001-	7822	59		A2 2	0010	214	
OTHED C	OLIDGE	/C).			MADI	יי ע כ	125.	2022	2.2									

OTHER SOURCE(S): MARPAT 135:283233

REFERENCE COUNT: 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1996:734085 CAPLUS

DOCUMENT NUMBER: 126:19178

TITLE: Nucleotide inotropic agents

INVENTOR(S):
Liang, Bruce T.

PATENT ASSIGNEE(S): University of Pennsylvania, USA

SOURCE: PCT Int. Appl., 56 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9629345	A1	19960926	WO 1996-US3911	19960322 <
W: CA, JP, US				
RW: AT, BE, CH,	DE, DK	, ES, FI, FR	R, GB, GR, IE, IT, L	U, MC, NL, PT, SE
US 5712258	A	19980127	US 1995-409350	19950323 <
US 6255292	В1	20010703	US 1997-875050	19970923 <
US 20030186929	A1	20031002	US 2003-396200	20030325 <
US 7348315	В2	20080325		
PRIORITY APPLN. INFO.:			US 1995-409350	A2 19950323
			WO 1996-US3911	W 19960322

US 1997-875050 A2 19970923 US 2000-641491 B1 20000818

OTHER SOURCE(S): MARPAT 126:19178

L9 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1995:315533 CAPLUS

DOCUMENT NUMBER: 122:106398

TITLE: Preparation of deoxythionucleosides as virucides
INVENTOR(S): Koszalka, George Walter; Van Draanen, Nanine Agneta;

Freeman, George Andrew; Short, Steven Andersen

PATENT ASSIGNEE(S): Wellcome Foundation Ltd., UK

SOURCE: PCT Int. Appl., 56 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA.	TENT	NO.			KIN	D	DATE			APPL	ICAT	ION :	NO.		D.	ATE		
WO	9401	443			A1	_	1994	0120	;	WO 1	 993-	 GB13	 88		1	 9930	701	<
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		BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	ML,	MR,	NE,	SN,	TD,	ΤG			
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									,	WO 1	993-	GB13	88		A 1	9930	701	

OTHER SOURCE(S): CASREACT 122:106398; MARPAT 122:106398

L9 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1991:247683 CAPLUS

DOCUMENT NUMBER: 114:247683

TITLE: Preparation of N-heteroarylpurin-6-amines as

analgesics and anticonvulsants

INVENTOR(S): Effland, Richard Charles; Klein, Joseph Thomas; Davis,

Larry; Olson, Gordon Edward

PATENT ASSIGNEE(S): Hoechst-Roussel Pharmaceuticals, Inc., USA

SOURCE: Eur. Pat. Appl., 25 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT NO.	KIND DATE	APPLICATION NO.	DATE
EP 402752	A1 19901219	EP 1990-110676	19900606 <
EP 402752	B1 19950913		
R: AT, BE, CH,	DE, DK, ES, FR, GI	B, GR, IT, LI, LU, NL,	SE
US 5017578	A 19910521	US 1989-363837	19890609 <
ES 2078267	T3 19951216	ES 1990-110676	19900606 <
IL 94665	A 19940624	IL 1990-94665	19900607 <

2018563	A 1	19901209	CA	1990-2018563		19900608	<
			011	1990 2010000		1330000	`
			МО	1990-2555		19900608	<b>/</b>
9056919	А	19901213	ΑU	1990-56919		19900608	<
636351	B2	19930429					
1047866	A	19901219	CN	1990-104194		19900608	<
1029968	В	19951011					
54156	A2	19910128	HU	1990-3768		19900608	<
207320	В	19930329					
03024080	A	19910201	JΡ	1990-148884		19900608	<
06102663	В	19941214					
9004443	A	19910327	ZA	1990-4443		19900608	<
199524	B1	19990615	KR	1990-8444		19900609	<
5155098	A	19921013	US	1991-696472		19910506	<
210179	B1	19990715	KR	1998-49161		19981117	<
Y APPLN. INFO.:			US	1989-363837	Α	19890609	
			KR	1990-8444	Α	19900609	
	1047866 1029968 54156 207320 03024080 06102663 9004443 199524 5155098 210179	2018563       C         9002555       A         9056919       A         636351       B2         1047866       A         1029968       B         54156       A2         207320       B         03024080       A         06102663       B         9004443       A         199524       B1         5155098       A         210179       B1	2018563       C       20000919         9002555       A       19901210         9056919       A       19901213         636351       B2       19930429         1047866       A       19901219         1029968       B       19951011         54156       A2       19910128         207320       B       19930329         03024080       A       19910201         06102663       B       19941214         9004443       A       19910327         199524       B1       19990615         5155098       A       19921013         210179       B1       19990715	2018563 C 20000919 9002555 A 19901210 NO 9056919 A 19901213 AU 636351 B2 19930429 1047866 A 19901219 CN 1029968 B 19951011 54156 A2 19910128 HU 207320 B 19930329 03024080 A 19910201 JP 06102663 B 19941214 9004443 A 19910327 ZA 199524 B1 19990615 KR 5155098 A 19921013 US Y APPLN. INFO.:	2018563       C       20000919         9002555       A       19901210       NO 1990-2555         9056919       A       19901213       AU 1990-56919         636351       B2       19930429         1047866       A       19901219       CN 1990-104194         1029968       B       19951011         54156       A2       19910128       HU 1990-3768         207320       B       19930329         03024080       A       19910201       JP 1990-148884         06102663       B       19941214         9004443       A       19910327       ZA 1990-4443         199524       B1       19990615       KR 1990-8444         5155098       A       19921013       US 1991-696472         210179       B1       19990715       KR 1998-49161	2018563	2018563 C 20000919 9002555 A 19901210 NO 1990-2555 19900608 9056919 A 19901213 AU 1990-56919 19900608 636351 B2 19930429 1047866 A 19901219 CN 1990-104194 19900608 1029968 B 19951011 54156 A2 19910128 HU 1990-3768 19900608 207320 B 19930329 03024080 A 19910201 JP 1990-148884 19900608 06102663 B 19941214 9004443 A 19910327 ZA 1990-4443 19900608 199524 B1 19990615 KR 1990-8444 19900609 5155098 A 19921013 US 1991-696472 19910506 210179 B1 19990715 KR 1998-49161 19981117 Y APPLN. INFO.:

OTHER SOURCE(S): CASREACT 114:247683; MARPAT 114:247683

L9 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1991:143930 CAPLUS

DOCUMENT NUMBER: 114:143930

TITLE: Preparation of 5'N, 6-disubstituted adenosines from

inosines

INVENTOR(S): Bridges, Alexander J. PATENT ASSIGNEE(S): Warner-Lambert Co., USA

SOURCE: U.S., 7 pp. Cont. of U.S. Ser. No. 34,125, abandoned.

CODEN: USXXAM

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4962194 PRIORITY APPLN. INFO.:	А	19901009	US 1988-260202 US 1987-34125 B1	19881019 < 19870402

OTHER SOURCE(S): CASREACT 114:143930; MARPAT 114:143930

L9 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1990:423943 CAPLUS

DOCUMENT NUMBER: 113:23943

TITLE: 6-Mercapt opurine derivatives, their preparation and

their use against retrovirus infections

INVENTOR(S): Klosa, Josef; Kroeger, Hans Prof; Meichsner,

Christoph; Winkler, Irvin; Helsberg, Matthias;

Schrinner, Elmar

PATENT ASSIGNEE(S): Hoechst A.-G., Germany SOURCE: Eur. Pat. Appl., 15 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT NO.	KIND DATE	APPLICATION NO.	DATE
EP 350742	A1 1990011	7 EP 1989-112061	19890701 <
R: AT, BE, CH,	DE, ES, FR, GB	G, GR, IT, LI, LU, NL, SE	

DE 3823345	A1	19900125	DE :	1988-3823345		19880709	<
DK 8903383	A	19900110	DK :	1989-3383		19890707	<
AU 8937933	А	19900111	AU :	1989-37933		19890707	<
JP 02067283	A	19900307	JP :	1989-174317		19890707	<
ZA 8905176	A	19900328	ZA	1989-5176		19890707	<
PRIORITY APPLN. INFO.:			DE :	1988-3823345	Α	19880709	
OTHER SOURCE(S):	CASRE	ACT 113:2394	3 : MAI	RPAT 113:23943			

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FILE 'MARPAT' ENTERED AT 09:52:04 ON 15 APR 2008

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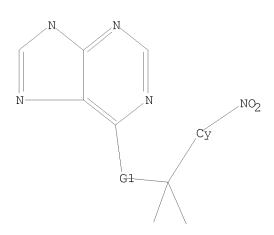
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L8 22 S L6

L9 8 S L8 AND PY<=2003

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L1 HAS NO ANSWERS
L1 STR



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Structure attributes must be viewed using STN Express query preparation.

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SINCE FILE TOTAL ENTRY SESSION

FULL ESTIMATED COST 12.28 267.51

SESSION WILL BE HELD FOR 120 MINUTES
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Connecting via Winsock to STN

Welcome to STN International! Enter x:x

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\* \* \* \* \* RECONNECTED TO STN INTERNATIONAL \* \* \* \* \* \* SESSION RESUMED IN FILE 'CAPLUS' AT 10:02:30 ON 15 APR 2008 FILE 'CAPLUS' ENTERED AT 10:02:30 ON 15 APR 2008 COPYRIGHT (C) 2008 AMERICAN CHEMICAL SOCIETY (ACS)

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ENTRY SESSION
FULL ESTIMATED COST
12.28 267.51

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(FILE 'HOME' ENTERED AT 08:28:58 ON 15 APR 2008)

FILE 'REGISTRY' ENTERED AT 08:29:24 ON 15 APR 2008

L1 STRUCTURE UPLOADED

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FILE 'MARPAT' ENTERED AT 09:52:04 ON 15 APR 2008

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FILE 'CAPLUS' ENTERED AT 09:54:27 ON 15 APR 2008

L8 22 S L6

L9 8 S L8 AND PY<=2003

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L9 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:814853 CAPLUS

DOCUMENT NUMBER: 137:325431

TITLE: Preparation of aminopyrimidines and -pyridines as

glycogen synthase kinase 3 inhibitors

INVENTOR(S): Nuss, John M.; Harrison, Stephen D.; Ring, David B.;

Boyce, Rustum S.; Johnson, Kirk; Pfister, Keith B.; Ramurthy, Savithri; Seely, Lynn; Wagman, Allan S.;

Desai, Manjo; Levine, Barry H.

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 134 pp., Cont.-in-part of U.S.

6,417,185.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 20020156087	A1	20021024	US 2001-949035		20010906 <
US 7045519	B2	20060516			
US 6417185	B1	20020709	US 1999-336038		19990618 <
US 20030130289	A1	20030710	US 2002-309535		20021203 <
US 7037918	B2	20060502			
US 20060089369	A1	20060427	US 2005-220400		20050906
PRIORITY APPLN. INFO.:			US 1998-89978P	P	19980619
			US 1999-336038	A2	19990618
			US 2000-230480P	P	20000906
			US 1999-336098	АЗ	19990618
			US 2001-949035	Α3	20010906

OTHER SOURCE(S): MARPAT 137:325431

GΙ

AΒ Title compds. I [wherein W = (un) substituted C or N; X and Y = independently N, O, or (un) substituted C; A = (un) substituted (hetero)aryl; R1, R1a, R2, R2a, R3, R3a, R4, and R4a = independently H, OH, alkoxy, acyl, (hetero)aryl, or (un)substituted (cyclo)alkyl, amino(alkyl), etc.; R5 and R7 = independently H, halo, alkoxy, quanidinyl, (bi)aryl, hetero(bi)aryl, heterocycloalkyl, arylsulfonamido, or (un)substituted (cyclo)alkyl, amino(alkoxy), or amidino; R6 = H, halo, carboxyl, NO2, (cyclo)amido, (cyclo)amidino, (cyclo)imido, CN, alkoxy, acyl(oxy), guanidinyl, (hetero)aryl, heterocyclo(alkyl), arylsulfonyl, arylsulfonamido, or (un)substituted alkyl, amino, etc.] were prepared as glycogen synthase kinase 3 (GSK3) inhibitors. For example, 2-chloro-5-nitropyridine was aminated by H2N(CH2)3NH2 and the product N-acylated by benzotriazolecarboxamidinium tosylate to give the alkylquanidine. The latter was cyclocondensed with resin-bound 4-(MeCO)C6H4CONHCH2C6H4Br-3 and Cs2CO3 to afford, after resin cleavage, the pyrimidinamine II. The most preferred compds. of the invention exhibited inhibitory activity against human GSK3 $\beta$  in a cell free

assay with IC50 values of < 1  $\mu$ M. Thus, I and compns. containing I may be employed alone or in combination with other pharmacol. active agents in the treatment of disorders mediated by GSK3 activity, such as diabetes, Alzheimer's disease and other neurodegenerative disorders, obesity, atherosclerotic cardiovascular disease, essential hypertension, polycystic ovary syndrome, syndrome X, ischemia, traumatic brain injury, bipolar disorder, immunodeficiency, or cancer (no data).

REFERENCE COUNT: 306 THERE ARE 306 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

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L9 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:185092 CAPLUS

DOCUMENT NUMBER: 136:247598

TITLE: Preparation of aminopyrimidines and -pyridines as

glycogen synthase kinase 3 inhibitors

INVENTOR(S): Nuss, John M.; Harrison, Stephen D.; Ring, David B.; Boyce, Rustum S.; Johnson, Kirk; Pfister, Keith B.;

Ramurthy, Savithri; Seely, Lynn; Wagman, Allan S.;

Desai, Manoj; Levine, Barry H.

PATENT ASSIGNEE(S): Chiron Corporation, USA SOURCE: PCT Int. Appl., 268 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

]	PATENT NO.				KIND DATE				APPL	ICAT	CATION NO.				DATE			
							A2 20020314 A3 20020620			WO 2001-US42081					20010906 <			
			CO, GM, LS, PT, UZ,	CR, HR, LT, RO, VN,	CU, HU, LU, RU, YU,	CZ, ID, LV, SD, ZA,	DE, IL, MA, SE, ZW	DK, IN, MD, SG,	AZ, DM, IS, MG, SI,	DZ, JP, MK, SK,	EC, KE, MN, SL,	EE, KG, MW, TJ,	ES, KP, MX, TM,	FI, KR, MZ, TR,	GB, KZ, NO, TT,	GD, LC, NZ, TZ,	GE, LK, PH, UA,	GH, LR, PL, UG,
		R₩:	DE,	DK,	ES,	FI,	FR,	GB,	SD, GR, GN,	IE,	IT,	LU,	MC,	NL,	PT,	SE,	TR,	
i	AU	2001	0950	26		А		2002	0322		AU 2	001-	9502	6		2	0010	906 <
]	ΕP	1317	433			A2		2003	0611		EP 2	001-	9757.	34		2	0010	906 <
		R:							FR, MK,		•	•	LI,	LU,	NL,	SE,	MC,	PT,
	JΡ	2004	5146	56		Т		2004	0520		JP 2	002-	5251	17		2	0010	906
(	CN	1592	743			Α		2005	0309		CN 2	001-	8184	25		2	0010	906
	ΙN	2003	KN00	277		Α		2005	0311		IN 2	003-	KN27	7		2	0030	305
]	KR	8167	69			В1		2008	0326		KR 2	003-	7033.	27		2	0030	306
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PRIOR	IΤ	Z APP	LN.	INFO	.:												0000	
																	0010	
											KR 2	003-	7033.	27		A3 2	0030	306

OTHER SOURCE(S): MARPAT 136:247598

AΒ Title compds. I [wherein W = (un) substituted C or N; X and Y = (un)independently N, O, or (un) substituted C; A = (un) substituted (hetero)aryl; R1, R1a, R2, R2a, R3, R3a, R4, and R4a = independently H, OH, alkoxy, acyl, (hetero)aryl, or (un)substituted (cyclo)alkyl, amino(alkyl), etc.; R5 and R7 = independently H, halo, alkoxy, guanidinyl, (bi)aryl, hetero(bi)aryl, heterocycloalkyl, arylsulfonamido, or (un)substituted (cyclo)alkyl, amino(alkoxy), or amidino; R6 = H, halo, carboxyl, NO2, (cyclo)amido, (cyclo)amidino, (cyclo)imido, CN, alkoxy, acyl(oxy), quanidinyl, (hetero)aryl, heterocyclo(alkyl), arylsulfonyl, arylsulfonamido, or (un)substituted alkyl, amino, etc.] were prepared as glycogen synthase kinase 3 (GSK3) inhibitors. For example, 2-chloro-5-nitropyridine was aminated by H2N(CH2)3NH2 and the product N-acylated by benzotriazolecarboxamidinium tosylate to give the alkylquanidine. The latter was cyclocondensed with resin-bound 4-(MeCO)C6H4CONHCH2C6H4Br-3 and Cs2CO3 to afford, after resin cleavage, the pyrimidinamine II. The most preferred compds. of the invention exhibited inhibitory activity against human  $GSK3\beta$  in a cell free assay with IC50 values of < 1  $\mu\text{M}$ . Thus, I and compns. containing I may be employed alone or in combination with other pharmacol. active agents in the treatment of disorders mediated by GSK3 activity, such as diabetes, Alzheimer's disease and other neurodegenerative disorders, obesity, atherosclerotic cardiovascular disease, essential hypertension, polycystic ovary syndrome, syndrome X, ischemia, traumatic brain injury, bipolar disorder, immunodeficiency, or cancer (no data).

L9 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2001:763522 CAPLUS

DOCUMENT NUMBER: 135:283233

TITLE: Pharmaceutical use of adenosine agonists for inducing

bone marrow cell proliferation

INVENTOR(S): Fishman, Pnina; Cohn, Ilan
PATENT ASSIGNEE(S): Can-Fite Biopharma Ltd., Israel

SOURCE: U.S. Pat. Appl. Publ., 10 pp., Cont.-in-part of U.S.

Ser. No. 700,744. CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PA:	PATENT NO.				KIND DATE			APPLICATION NO.				DATE					
US	2001	0031	742		A1					US 2001-782259				20010214 <			
US	6790	839			В2		2004	0914									
WO	2000	0402	51		A1		2000	0713	,	WO 2	000-	IL14			2	0000	107 <
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		CZ,	DE,	DK,	DM,	EE,	ES,	FΙ,	GB,	GD,	GE,	GH,	GM,	HR,	HU,	ID,	IL,
		IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	KΖ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,
		MD,	MG,	MK,	MN,	MW,	MX,	NO,	NΖ,	PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,
		SK,	SL,	ТJ,	TM,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VN,	YU,	ZA,	ZW	
	RW:	GH,	GM,	KE,	LS,	MW,	SD,	SL,	SZ,	TZ,	UG,	ZW,	ΑT,	BE,	CH,	CY,	DE,
		DK,	ES,	FI,	FR,	GB,	GR,	IE,	ΙT,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	CF,
		CG,	CI,	CM,	GΑ,	GN,	GW,	ML,	MR,	NE,	SN,	TD,	ΤG				
US	6638	914			В1		2003	1028		US 2	001-	7007	44		2	0010	109 <
US	2002	0037	871		A1		2002	0328		US 2	001-	8719	63		2	0010	604 <
PRIORIT	APP	LN.	INFO	.:						IL 19	999-	1279	47		A 1	9990	107
									,	WO 2	000-	IL14			P 2	0000	107
									US 2001-700744				A2 20010109				
										US 2	001-	7822	59		A2 2	0010	214

OTHER SOURCE(S): MARPAT 135:283233

AB A method is provided for inducing proliferation of bone marrow cells in a subject, compromising administering an effective amount of an adenosine Al receptor agonist. Also provided is a method for preventing reduction in level of leukocytes in a subject as a result of a treatment comprising administering to the individual an effective amount of an adenosine Al receptor agonist. In addition, the invention provides a method of treatment of an individual comprising administering to the subject a therapeutic drug in combination with an adenosine Al receptor agonist.

REFERENCE COUNT: 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1996:734085 CAPLUS

DOCUMENT NUMBER: 126:19178

TITLE: Nucleotide inotropic agents

INVENTOR(S): Liang, Bruce T.

PATENT ASSIGNEE(S): University of Pennsylvania, USA

SOURCE: PCT Int. Appl., 56 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9629345	A1	19960926	WO 1996-US3911	19960322 <
W: CA, JP, US				
RW: AT, BE, CH,	DE, DK	, ES, FI, FF	R, GB, GR, IE, IT, LU	, MC, NL, PT, SE
US 5712258	A	19980127	US 1995-409350	19950323 <
US 6255292	В1	20010703	US 1997-875050	19970923 <
US 20030186929	A1	20031002	US 2003-396200	20030325 <
US 7348315	B2	20080325		
PRIORITY APPLN. INFO.:			US 1995-409350	A2 19950323
			WO 1996-US3911	W 19960322

MARPAT 126:19178

GΙ

OTHER SOURCE(S):

AB Nucleotides I [R1, R2 = halo, -R6(R7)pR8; R3 = H, halo, -R6(R7)pR8; R4 = OH, SH, NH2; R5 = OH, acetamido; R6 = NH, S; R7 = C1-C10 alkylene; R8 = H, NH2, CN, cycloalkyl having 3 to about 10 carbon atoms, or aryl having 3 to about 20 carbon atoms; X, Y = N, CH; n, q, p = 0, 1; m = 1, 2] or their pharmaceutically acceptable salts modulate cardiac muscle contractility and possess vasodilator activity. Receptors that bind the compds. are also provided.

Ι

L9 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1995:315533 CAPLUS

DOCUMENT NUMBER: 122:106398

TITLE: Preparation of deoxythionucleosides as virucides
INVENTOR(S): Koszalka, George Walter; Van Draanen, Nanine Agneta;

Freeman, George Andrew; Short, Steven Andersen

PATENT ASSIGNEE(S): Wellcome Foundation Ltd., UK

SOURCE: PCT Int. Appl., 56 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT NO.		KIND	DATE	APPLICATION NO.	DATE
WO 9401443		A1	19940120	WO 1993-GB1388	19930701 <
W: AU	, BB, BG	, BR, CA	, CZ, FI,	HU, JP, KP, KR, KZ,	LK, MG, MN, MW,
NC	, NZ, PL	, RO, RU	, SD, SK,	UA, US, VN	
RW: AI	, BE, CH	, DE, DK	, ES, FR,	GB, GR, IE, IT, LU, I	MC, NL, PT, SE,
BF	, BJ, CF	, CG, CI	, CM, GA,	GN, ML, MR, NE, SN,	ID, TG
AU 9345085		A	19940131	AU 1993-45085	19930701 <
CN 1087089		A	19940525	CN 1993-109525	19930701 <
ZA 9304742		A	19950103	ZA 1993-4742	19930701 <
EP 648218		A1	19950419	EP 1993-914865	19930701 <

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE
JP 07508531 T 19950921 JP 1993-503083 19930701 <-PRIORITY APPLN. INFO.: GB 1992-14171 A 19920702
GB 1992-23180 A 19921105
WO 1993-GB1388 A 19930701

OTHER SOURCE(S): CASREACT 122:106398; MARPAT 122:106398

GΙ

AB Title compds. [I; R1 = halo, NR2R3, SOnR4, SOmOR4a, OR5, alkyl, alkenyl, alkynyl; R2, R3 = H, alkyl, cycloalkyl, alkenyl, (substituted) Ph, phenylalkyl; R2R3N = 3-7 membered heterocyclyl; m, n = 0-4; R4, R4a, R5 = alkyl, cycloalkyl, cycloalkylalkyl, alkoxy, (substituted) Ph, phenylalkyl], were prepared as virucides (no data). Thus, 2-amino-6-methoxypurine was kept with  $\alpha,\beta$ -2'-deoxy-4'-thiouridine and trans-N-deoxyribosylase in pH 6.0 citrate buffer at 50° to give I (R1 = OMe). Generic I formulations are given. Use of I against infection by herpes virus, retrovirus, hepatitis virus, coxsackie virus, and hepatitis C virus is claimed.

L9 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1991:247683 CAPLUS

DOCUMENT NUMBER: 114:247683

TITLE: Preparation of N-heteroarylpurin-6-amines as

analgesics and anticonvulsants

INVENTOR(S): Effland, Richard Charles; Klein, Joseph Thomas; Davis,

Larry; Olson, Gordon Edward

PATENT ASSIGNEE(S): Hoechst-Roussel Pharmaceuticals, Inc., USA

SOURCE: Eur. Pat. Appl., 25 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PAT	TENT	NO.			KINI	)	DATE		APPL	ICAT	I NOI	7O.		DATE	
						_									
EP	4027	752			A1		1990	1219	EP 1	990-	1106	76		19900606	<
EΡ	4027	752			В1		1995	0913							
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB, GR,	ΙT,	LI,	LU,	NL,	SE	
US	5017	7578			A		1991	0521	US 1	989-	36383	37		19890609	<

ES 2	2078267	Т3	19951216	ES	1990-110676		19900606	<
IL 9	94665	A	19940624	IL	1990-94665		19900607	<
CA 2	2018563	A1	19901209	CA	1990-2018563		19900608	<
CA 2	2018563	С	20000919					
NO 9	9002555	A	19901210	ИО	1990-2555		19900608	<
AU 9	9056919	A	19901213	AU	1990-56919		19900608	<
AU 6	636351	В2	19930429					
CN 1	1047866	A	19901219	CN	1990-104194		19900608	<
CN 1	1029968	В	19951011					
HU 5	54156	A2	19910128	HU	1990-3768		19900608	<
HU 2	207320	В	19930329					
JP (	03024080	A	19910201	JΡ	1990-148884		19900608	<
JP (	06102663	В	19941214					
ZA 9	9004443	A	19910327	ZA	1990-4443		19900608	<
KR 1	199524	В1	19990615	KR	1990-8444		19900609	<
US 5	5155098	A	19921013	US	1991-696472		19910506	<
KR 2	210179	В1	19990715	KR	1998-49161		19981117	<
PRIORITY	APPLN. INFO.:			US	1989-363837	Α	19890609	
				KR	1990-8444	А	19900609	

OTHER SOURCE(S): CASREACT 114:247683; MARPAT 114:247683

AB The title compds. [I; R1 = H, alkyl, aralkyl; R2-R5 = H, alkyl, or R2R3 = arylene; R4R5 = arylene; R6 = H, alkyl, aryl, aralkyl, (substituted) 1H-pyrrol-1-yl, (substituted) ribofuranosyl] and their pharmaceutically acceptable salts were prepared by, e.g., reaction of QR6 [Q = 6-halo-9-purinyl] with pyrroleamine II. 6-Chloropurine was heated with II (R1 = R2 = R4 = R5 = H, R3 = Me) (preparation given) in Me2CHOH containing ether-HCl at 80° for 4 h to give 28% I [R1 = R2 = R4 = R5 = R6 = H, R3 = Me), which at 20.0 mg/kg s.c. inhibited 37% 2-phenyl-1, 4-benzoquinone-induced writhing in mice.

L9 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1991:143930 CAPLUS

DOCUMENT NUMBER: 114:143930

TITLE: Preparation of 5'N, 6-disubstituted adenosines from

inosines

INVENTOR(S): Bridges, Alexander J. PATENT ASSIGNEE(S): Warner-Lambert Co., USA

SOURCE: U.S., 7 pp. Cont. of U.S. Ser. No. 34,125, abandoned.

CODEN: USXXAM

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

### PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE	
				_		
US 4962194	A	19901009	US 1988-260202		19881019	<
PRIORITY APPLN. INFO.:			US 1987-34125	В1	19870402	
OTHER SOURCE(S):	CASREA	CT 114:14393	0; MARPAT 114:143930			
GI						

The title compds. [I; R2, R3 = H, alkyl, alkanoyl, Bz; or R2R3 = AΒ alkylidene; Z = RS(0)q, (un)substituted NH2; R = alkyl, (hetero)aryl, aralkyl; q = 0, 2; Q = H, halo, cyano, N3, NH2, alkoxy, acyloxy, thioalkyl, H2NNH, HONH, phosphino, dialkyl or diarylcuprato] are prepared by (1) bromination of inosine derivs. (II; R2, R3 = as defined above, excluding R2 = R3 = H) with Ar3PBr2 or (Ar0)3PBr2 (Ar = aryl) followed by reaction with RSH (R = as defined above) to give I (Z = RS, Q = Br), (2) oxidation of the latter to I [Z = RS(0)q Q = Br], (3) amination of the latter with amines to give I [Z = (un)substituted NH2, Q = Br], and (4) treatment of the latter with a nucleophile. Some I are useful as neuroleptics, analgesics, cardiotonics, antihypertensives, antilipolytics, antihyperlipemics, antiinflammatory agents, antithrombotic or antiembolic agents (no data). Thus, bromination of 2',3'-isopropylideneinosine with Br/Ph3P in pyridine followed by reaction with PhSH gave I (Z = PhS, Q = Br, R1R3 = CMe2) which was oxidized with m-ClC6H4C(0)00H in CHCl3 in the presence of NaHCO3 to I (Z = PhSO2; R, R2, R3 = as defined above). Amination of the latter with cyclopentylamine in the presence of Et3N in CHC13 and thiolation of the product I (Z = cyclopentylamino; Q, R2, R3 =as defined above) with NaSMe in Me2SO followed by hydrolysis gave I (Z =cyclopentylamino, Q = MeS, R2 = R3 = H).

L9 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1990:423943 CAPLUS

DOCUMENT NUMBER: 113:23943

TITLE: 6-Mercapt opurine derivatives, their preparation and

their use against retrovirus infections

INVENTOR(S): Klosa, Josef; Kroeger, Hans Prof; Meichsner,

Christoph; Winkler, Irvin; Helsberg, Matthias;

Schrinner, Elmar

PATENT ASSIGNEE(S): Hoechst A.-G., Germany SOURCE: Eur. Pat. Appl., 15 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
EP 350742	A1	19900117	EP 1989-112061		19890701 <
R: AT, BE, CH	, DE, ES	, FR, GB, GF	R, IT, LI, LU, NL, SH	<b>-</b>	
DE 3823345	A1	19900125	DE 1988-3823345		19880709 <
DK 8903383	A	19900110	DK 1989-3383		19890707 <
AU 8937933	A	19900111	AU 1989-37933		19890707 <
JP 02067283	A	19900307	JP 1989-174317		19890707 <
ZA 8905176	A	19900328	ZA 1989-5176		19890707 <
PRIORITY APPLN. INFO.:			DE 1988-3823345	Α	19880709
OTHER SOURCE(S):	CASREA	CT 113:23943	3; MARPAT 113:23943		
GI					

AB The title compds. [I; X = C1-6 (unsatd.) (substituted) alkyl, C4-6 cycloalkyl, O- or NH-containing heterocycyl], were prepared Thus, 6-mercaptopurine and then H2C:CHCH2Br were added to KOH in H2O/EtOH to give I (X = CH2CH:CH2) (II). II at 1.0 mg/mL in drinking water reduced the increase in spleen weight of mice infected with Friend leukemia virus from 7.8 (untreated controls) to 1.51%.

=> logoff hold		
COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	36.04	291.27
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	-6.40	-6.40

SESSION WILL BE HELD FOR 120 MINUTES
STN INTERNATIONAL SESSION SUSPENDED AT 10:03:23 ON 15 APR 2008